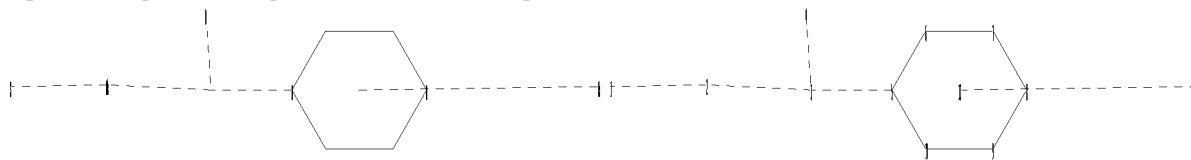


=>

Uploading C:\Program Files\Stnexp\Queries\10574048-amended-broad.str



```
chain nodes :
1 2 3 4 12
ring nodes :
5 6 7 8 9 10
chain bonds :
1-2 2-3 3-4 3-5
ring bonds :
5-6 5-10 6-7 7-8 8-9 9-10
exact/norm bonds :
1-2 2-3 3-4 3-5 5-6 5-10 6-7 7-8 8-9 9-10
isolated ring systems :
containing 5 :
```

Match level :

1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10574048-amended-narrow.str



```
chain nodes :
6
ring nodes :
1 2 3 4 5
ring/chain nodes :
8
ring/chain bonds :
4-8
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-8
```

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS

L4           STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 14:47:26 ON 13 MAY 2008

L1           STRUCTURE UPLOADED

L2           36 S L1

L3           9243 S L1 SSS FULL

L4           STRUCTURE UPLOADED

L5           2 S L4 SAM SUB=L3

L6           58 S L4 SSS FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 14:48:23 ON 13 MAY 2008

L7           4 S L6

FILE 'REGISTRY' ENTERED AT 14:48:29 ON 13 MAY 2008

FILE 'CAPLUS' ENTERED AT 14:48:33 ON 13 MAY 2008

L8           2 S US200!-574048/APPS

L9           1 S L7 AND L8

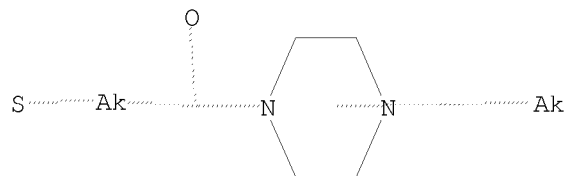
L10          3 S L7 NOT L8

FILE 'REGISTRY' ENTERED AT 14:48:57 ON 13 MAY 2008

=> d 11

L1 HAS NO ANSWERS

L1           STR

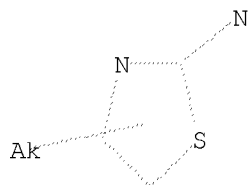


Structure attributes must be viewed using STN Express query preparation.

=> d 14

L4 HAS NO ANSWERS

L4           STR



Structure attributes must be viewed using STN Express query preparation.

L9   ANSWER 1 OF 1   CAPLUS   COPYRIGHT 2008 ACS on STN

AN   2005:300422   CAPLUS <<LOGINID::20080513>>

DN   142:373822

TI   Preparation of thiazoline derivatives as FXa inhibitors

IN   Kubo, Keiji; Kuroita, Takanobu; Kawamura, Masaki; Sakamoto, Hiroki

PA   Takeda Pharmaceutical Company Limited, Japan

SO   PCT Int. Appl., 192 pp.

CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2005030740	A1	20050407	WO 2004-JP14685	20040929
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1669352	A1	20060614	EP 2004-773616	20040929
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
	JP 2005126428	A	20050519	JP 2004-288257	20040930
	US 20070010528	A1	20070111	US 2006-574048	20060512 <--
PRAI	JP 2003-341430	A	20030930		
	WO 2004-JP14685	W	20040929		
OS	MARPAT 142:373822				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I [R = (un)substituted cyclic hydrocarbon group, (un)substituted heterocyclic group; X = bond, (un)substituted divalent chain hydrocarbon group; X' = bond, NR5; R5 = H, (un)substituted hydrocarbon group, etc.; Y = (un)substituted divalent hydrocarbon group; Y' = bond, carbonyl; ring A = (un)substituted nitrogenous heterocycle; Z1, Z3 = bond, (un)substituted divalent chain hydrocarbon group; Z2 = bond, NR6; R6 = H, (un)substituted hydrocarbon group, etc.; a = 0-2; ring B = II, etc.; R2 = H, halo, etc.; R3 = H, (un)substituted hydrocarbon group, etc.; R4 = (un)substituted hydrocarbon group; further details on R2, R3, R4 were provided.] were prepared For example, reaction of 1-(3-((6-chloro-2-naphthyl)sulfonyl)propionyl)piperazine, e.g., prepared from 1-piperazinecarboxylic acid tert-Bu ester, with 4-chloromethyl-1,3-thiazole-2-amine·2HCl followed by treatment with iodomethane afforded compound III·2HCl. In FXa (blood coagulation factor Xa) inhibition assays, the IC50 value of compound III·2HCl was 22 nM. Compds. I are claimed useful for the treatment of myocardial infarction, obstructive arteriosclerosis, etc. Formulations are given.

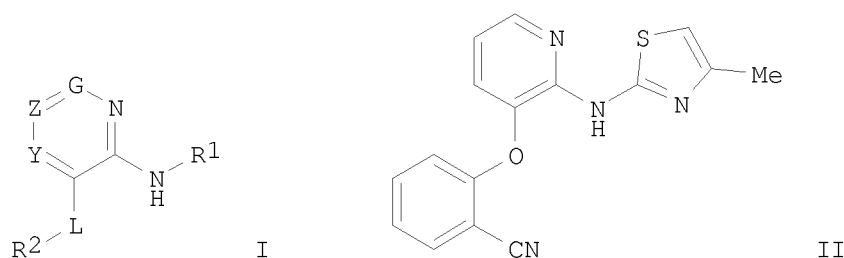
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l10 tot bib abs hitstr

L10 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2007:1177856 CAPLUS <<LOGINID::20080513>>  
DN 147:469326  
TI Preparation of pyridyl thiazolyl amines as glucokinase activators  
IN Aicher, Thomas Daniel; Boyd, Steven Armen; Chicarelli, Mark Joseph;

Condroski, Kevin Ronald; Hinklin, Ronald Jay; Singh, Ajay  
 PA Array Biopharma Inc., USA  
 SO PCT Int. Appl., 276pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007117381	A2	20071018	WO 2007-US7444	20070323
	WO 2007117381	A3	20080214		
	WO 2007117381	A9	20080327		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
PRAI	US 2006-785460P	P	20060324		
OS	MARPAT 147:469326				
GI					

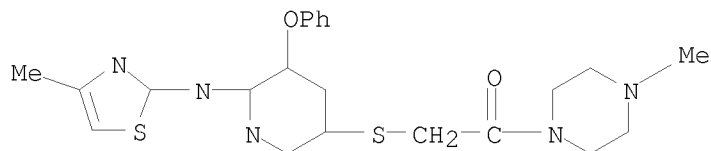


AB The title compds. I [L = O, S, C(O) or CHR14; Y = N or CR4; Z = N or CR3 (wherein at least one of G or Z is not N); G = N or CR11; R1 = (un)substituted thiazolyl, thiadiazolyl, thiazolopyridinyl, etc.; R2 = (un)substituted aryl, heteroaryl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = H, Me, Et, halo, etc.; R11 = H, Me, Et, halo, etc.; R14 = H, Me, Et, OH] that are useful in the treatment and/or prevention of diseases mediated by deficient levels of glucokinase activity, such as diabetes mellitus, were prepared E.g., a 2-step synthesis of II, starting from 2-chloropyridin-3-ol and 2-fluorobenzonitrile, was given. The exemplified compds. I have been found to have an EC50 in the range of 6 and 50,000 nM in in vitro glucokinase assay. Pharmaceutical composition comprising the compound I id disclosed. Also provided are methods of treating or preventing diseases and disorders characterized by underactivity of glucokinase or which can be treated by activating glucokinase.  
 IT 953042-80-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridyl thiazolyl amines as glucokinase activators)

RN 953042-80-3 CAPLUS

CN Ethanone, 1-(4-methyl-1-piperazinyl)-2-[[6-[(4-methyl-2-thiazolyl)amino]-5-phenoxy-3-pyridinyl]thio]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L10 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:510469 CAPLUS <<LOGINID::20080513>>

DN 146:501037

TI Preparation of pyridine derivatives and analogs thereof as glucokinase activators

IN Aicher, Thomas Daniel; Lee, Wai-Man; Hinklin, Ronald Jay; Chicarelli, Mark Joseph; Boyd, Steven Armen; Condroski, Kevin Ronald

PA Array Biopharma Inc., USA

SO PCT Int. Appl., 190pp.

CODEN: PIXXD2

DT Patent

LA English

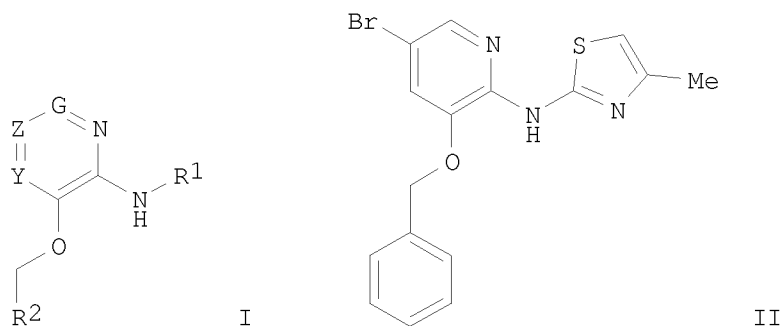
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2007053345	A1	20070510	WO 2006-US41251	20061024
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRAI US 2005-732037P P 20051101

OS MARPAT 146:501037

GI



AB Title compds. I [R1 = (un)substituted heteroaryl; R2 = (un)substituted monocyclic aryl, bicyclic aryl or heteroaryl; Z = N or CR3, wherein R3 = H, (un)substituted alkyl, alkenyl, etc.; Y = N or CR4, wherein R4 = H, Me, Et, etc.; G = N or CR5, wherein R5 = H, Me, Et, etc.; at least one of G or Z is not N], and their pharmaceutically acceptable salts, are prepared and disclosed as glucokinase activators. Thus, e.g., II·HCl was prepared via bromination of 3-(benzyloxy)pyridin-2-amine followed by condensation with benzoyl isothiocyanate to generate 1-benzoyl-3-[3-(benzyloxy)-5-bromopyridin-2-yl]thiourea intermediate which undergoes hydrolysis and heterocyclization with 1-chloropropan-2-one. The glucokinase activity of certain compds. of the invention was evaluated in glucose S0.5 assay with S0.5 values ranging from 1.5 to 4.0 mM.

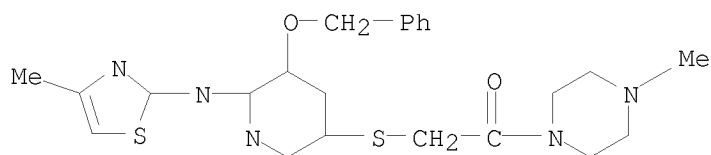
IT 936245-88-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyridine derivs. and analogs thereof as glucokinase activators)

RN 936245-88-4 CAPLUS

CN Ethanone, 1-(4-methyl-1-piperazinyl)-2-[[6-[(4-methyl-2-thiazolyl)amino]-5-(phenylmethoxy)-3-pyridinyl]thio]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2007:439172 CAPLUS <<LOGINID::20080513>>

DN 146:441825

TI Preparation of acylated piperazines as histone deacetylase (HDAC) inhibitors for treating cancer, psoriasis and related diseases

IN Srinivas, Akella Satya Surya Visweswara; Narasimhan, Kilambi; Manikandan,

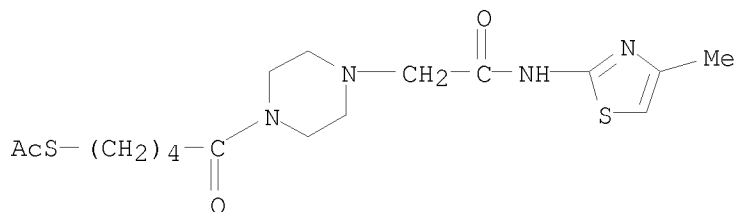


(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(drug candidate; preparation of acylated piperazines as histone deacetylase  
(HDAC) inhibitors for treating cancer and psoriasis)

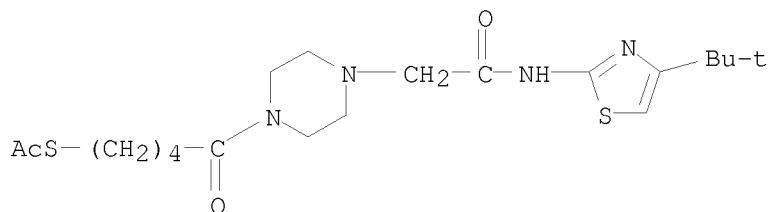
RN 934629-14-8 CAPLUS

CN Ethanethioic acid, S-[5-[4-[2-[(4-methyl-2-thiazolyl)amino]-2-oxoethyl]-1-piperazinyl]-5-oxopentyl] ester (CA INDEX NAME)



RN 934629-53-5 CAPLUS

CN Ethanethioic acid, S-[5-[4-[2-[[4-(1,1-dimethylethyl)-2-thiazolyl]amino]-2-oxoethyl]-1-piperazinyl]-5-oxopentyl] ester (CA INDEX NAME)



RN 934629-56-8 CAPLUS

CN Ethanethioic acid, S-[6-[4-[2-[(4-methyl-2-thiazolyl)amino]-2-oxoethyl]-1-piperazinyl]-6-oxohexyl] ester (CA INDEX NAME)

